

<p>95-080275/11 B05 MED BIOTECHN RES PRODN CENTRE 91.03.26 91SU-4921643 (94.06.15) A61K 9/127 Obtaining liposome contg. water soluble low mol. wt. cpds. - in freeze dried aq. soln. contg. cryo-protector, useful for increasing therapeutic activity of drugs. C95-036258 Addnl. Data: MUZYA G I, LYKOVA E O, SHESTAKOV K A</p>	<p>MEDI= 91.03.26 *RU2014071-C1 B(1-D2, 4-B1B, 5-B1P, 7-A2, 7-D9, 10-A7, 12-M11F) 7</p>
<p>Obtaining a liposome contg. water soluble low mol. wt. cpds. comprises dissolution of lipids in an organic solvent, and dispersion of the soln. obtained in an aq. soln. contg. low mol. wt. cpds. (LMWC), vacuum evapn. of the solvent, and removal of the non-incorporated components. The aq. soln., simultaneously with inclusion of the low mol. wt. cpds., contains 5-10% cryo-protector, and the aq. suspension obtained is freeze dried and adjusted to the original vol.</p>	<p>ADVANTAGE The method increases the effectiveness of the inclusion of LMWC into liposomes, and provides a means of obtaining a freeze dried prepn. which can be stored for long periods and re-used after a short rehydration period.</p> <p>PREFERRED METHOD The cryo-protector is sucrose, glucose, or mannitol.</p> <p>EXAMPLE 150 mg of a mixt. of phosphatidylcholine and cholesterol in 9:1 ratio, contg. 9 mg naphazoline (2-(α-naphthylmethyl) imidazoline) nitrate in 0.2 ml ethanol was injected into 3 ml of a 5% aq. sucrose soln. The suspension obtained was freeze dried over 18 hr. at a residual pressure of 30mTorr, and the dried lipid residue was rehydrated with 3 ml of water. The liposome suspension obtained was dialysed for 40 hr. against 3 litre of a 0.01M phosphate buffer soln., pH 7.4, contg. 5% sucrose. The % inclusion of LMWC was 40 % for naphazoline using the</p>
<p>USE The method is useful in establishing systems of directed transport of physiologically active substances into cells, and for increasing the therapeutic activity of drugs.</p>	<p>RU 2014071-C+</p>

<p>present method compared with only 20 % using the injection method or ultrasonic treatment. (AF) (5pp2401DwgNo.0/0)</p>	<p>RU 2014071-C</p>
---	---------------------